

DERIVATIZED OLIGONUCLEOTIDES HAVING IMPROVED UPTAKE
AND OTHER PROPERTIES

ABSTRACT OF THE DISCLOSURE

Linked nucleosides having at least one functionalized nucleoside that bears a substituent such as a steroid molecule, a reporter molecule, a non-aromatic lipophilic molecule, a reporter enzyme, a peptide, a protein, a water soluble vitamin, a lipid soluble vitamin, an RNA cleaving complex, a metal chelator, a porphyrin, an alkylator, a pyrene, a hybrid photonuclease/intercalator, or an aryl azide photo-crosslinking agent exhibit increased cellular uptake and other properties. The substituent can be attached at the 2'-position of the functionalized nucleoside via a linking group. If at least a portion of the remaining linked nucleosides are 2'-deoxy-2'-fluoro, 2'-O-methoxy, 2'-O-ethoxy, 2'-O-propoxy, 2'-O-aminoalkoxy or 2'-O-allyloxy nucleosides, the substituent can be attached via a linking group at any of the 3' or the 5' positions of the nucleoside or on the heterocyclic base of the nucleoside or on the inter-nucleotide linkage linking the nucleoside to an adjacent nucleoside.